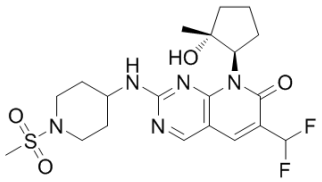
PF-06873600

Cat. No.:	HY-114177
CAS No.:	2185857-97-8
Molecular Formula:	$C_{20}H_{27}F_2N_5O_4S$
Molecular Weight:	471.52
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (176.73 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1208 mL	10.6040 mL	21.2080 mL
5 mM	0.4242 mL	2.1208 mL	4.2416 mL
10 mM	0.2121 mL	1.0604 mL	2.1208 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: ≥ 0.5 mg/mL (1.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.41 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.41 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.41 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (5.30 mM); Clear solution
6. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-06873600 is a selective and orally bioavailable inhibitor of cyclin-dependent kinase (CDK), with K_i values of 0.09 nM, 0.13

	nM and 0.16 nM for CDK2, CDK4 and CDK6, respectively. PF-06873600 has potential antineoplastic activity[1][2].		
IC_{50} & Target	CDK2 0.09 nM (Ki)	CDK4 0.13 nM (Ki)	CDK6 0.16 nM (Ki)
In Vitro	PF-06873600 (Example 8) is an orally bioavailable, cyclin-dependent kinase (CDK) inhibitor, with potential antineoplastic activity[1]. PF-06873600 selectively targets, binds to and inhibits the activity of CDKs. Inhibition of these kinases leads to cell cycle arrest, induction of apoptosis and inhibition of tumor cell proliferation. CDKs, ATP-dependent serine/threonine kinases that are important regulators of cell cycle progression and cellular proliferation, are frequently overexpressed in tumor cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		

REFERENCES

[1]. US 2018/0044344 A1.

[2]. NCI Drug Dictionary

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